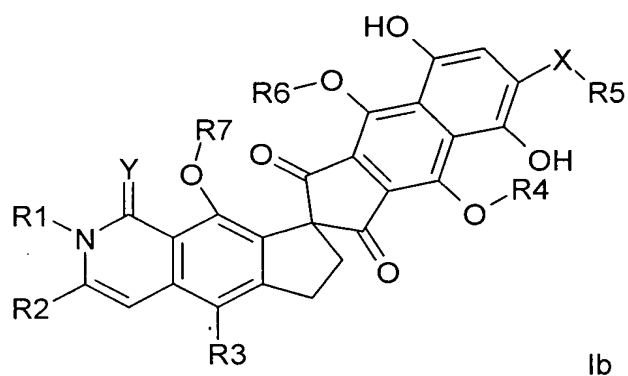
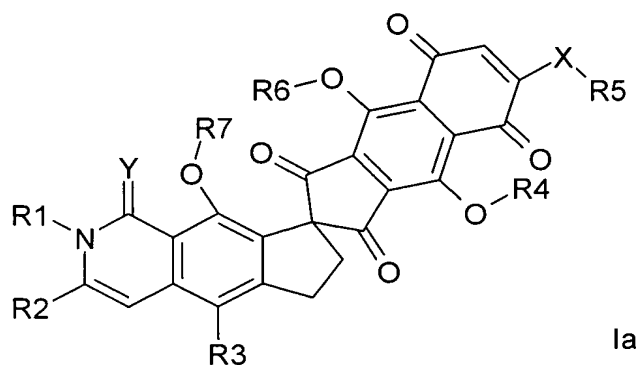


Claims

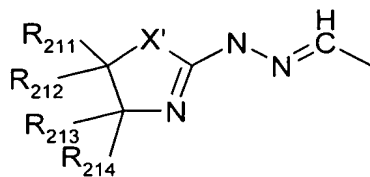
1. The compounds according to the general formula Ia or Ib:



wherein in each,

R1 means H, C₁-C₆ alkyl, cycloalkyl, C₁-C₄ alkylcycloalkyl,

R2 means C₁-C₁₄ alkyl, C₂-C₁₄ alkenyl, 1,3-butadienyl, 1-butane, C₁-C₄ alkylaryl, heteroaryl, C₁-C₄ alkylheteroaryl, cycloalkyl, C₁-C₄ alkyl-cycloalkyl, heterocycloalkyl, C₁-C₄ alkylheterocycloalkyl, C_mH_{2m+o-p}Y_p (with m = 1 to 6, for o = 1, p = 1 to 2m+o; for m = 2 to 6, o = -1, p = 1 to 2m+o; for m = 4 to 6, o = -2, p = 1 to 2m+o; Y = independently from each other selected from the group consisting of halogen, OH, OR₂₁, NH₂, NHR₂₁, NR₂₁R₂₂, SH, SR₂₁), CH₂NHCOR₂₁, CH₂NHCSR₂₁, CH₂S(O)_nR₂₁, with n = 0, 1, 2, CH₂SCOR₂₁, CH₂OSO₂-R₂₁, CHO, CH=NOH, CH(OH)R₂₁, -CH=NOR₂₁, -CH=NOCOR₂₁, -CH=NOCH₂CONR₂₁R₂₂, -CH=NOCH(CH₃)CONR₂₁R₂₂, -CH=NOC(CH₃)₂CONR₂₁R₂₂, -CH=N-NHCO-R₂₃, -CH=N-NHCO-CH₂NHCOR₂₁, -CH=N-O-CH₂NHCOR₂₁, -CH=N-NHCS-R₂₃, -CH=CR₂₄R₂₅ (trans or cis), COOH, COOR₂₁, CONR₂₁R₂₂, -CH=NR₂₁, -



$\text{CH}=\text{N}-\text{NR}_{21}\text{R}_{22}$, (with $\text{X}' = \text{NR}_{215}$, O, S, and R_{211} , R_{212} , R_{213} , R_{214} , R_{215} being independently from each other H or C_1 - C_6 alkyl), $-\text{CH}=\text{N}-\text{NHSO}_2$ aryl, $-\text{CH}=\text{N}-\text{NHSO}_2$ heteroaryl,

R_{21} , R_{22} are independently from each other C_1 - C_{14} alkyl, C_1 - C_{14} alkanoyl, C_1 - C_6 alkylhydroxy, C_1 - C_6 alkylamino, C_1 - C_6 alkylamino- C_1 - C_6 alkyl, C_1 - C_6 alkylamino-di- C_1 - C_6 alkyl, cycloalkyl, C_1 - C_4 alkylcycloalkyl, heterocycloalkyl, C_1 - C_4 alkylheterocycloalkyl, aryl, aryloyl, C_1 - C_4 alkylaryl, heteroaryl, heteroaryloyl, C_1 - C_4 alkylheteroaryl, cycloalkanoyl, C_1 - C_4 alkanoylcycloalkyl, heterocycloalkanoyl, C_1 - C_4 alkanoylheterocycloalkyl, C_1 - C_4 alkanoylaryl, C_1 - C_4 alkanoylheteroaryl, mono- and di-sugar residues linked through a C atom which would carry an OH residue in the sugar, wherein the sugars are independently from each other selected from the group consisting of glucuronic acid and its stereo isomers at all optical atoms, aldopentoses, aldohexoses, including their desoxy compounds (such as e.g. glucose, desoxyglucose, ribose, desoxyribose),

R_{23} independently of R_{21} , has the same meanings as R_{21} , or CH_2 -pyridinium salts, CH_2 -tri- C_1 - C_6 alkylammonium salts,

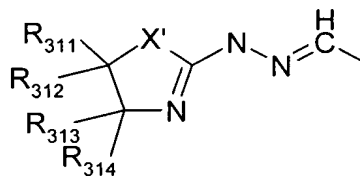
R_{24} independently of R_{21} , has the same meanings as R_{21} , or H, CN, COCH_3 , COOH , COOR_{21} , $\text{CONR}_{21}\text{R}_{22}$, NH_2 , NHCOR_{21} ,

R_{25} independently of R_{21} , has the same meanings as R_{21} , or H, CN, COCH_3 , COOH , COOR_{21} , $\text{CONR}_{21}\text{R}_{22}$, NH_2 , NHCOR_{21} ,

R_{24} , R_{25} together mean C_4 - C_8 cycloalkyl,

R_3 means C_2 - C_{14} alkyl, C_2 - C_{14} alkenyl, C_2 - C_{14} alkynyl, aryl, C_1 - C_4 alkylaryl, heteroaryl, C_1 - C_4 alkylheteroaryl, wherein the aryls or heteroaryls may be substituted with another aryl, C_1 - C_4 alkylaryl, O-aryl, C_1 - C_4 alkyl-O-aryl, heteroaryl, C_1 - C_4 alkylheteroaryl, O-heteroaryl or C_1 - C_4 alkyl-O-heteroaryl, cycloalkyl, C_1 - C_4 alkylcycloalkyl, heterocycloalkyl, C_1 - C_4 alkylheterocycloalkyl, $\text{C}_m\text{H}_{2m+0}$ -

$_pY_p$ (with $m = 2$ to 6 , for $o = 1, -1$, $p = 1$ to $2m+o$; for $m = 4$ to 6 , $o = -3$, $p = 1$ to $2m+o$; $Y =$ independently from each other selected from the group consisting of halogen, OH, OR31, NH₂, NHR31, NR31R32, SH, SR31), CH₂NHCOR31, CH₂NHCSR31, CH₂S(O)_nR31, with $n = 0, 1, 2$, CH₂SCOR31, CH₂OSO₂-R31, CHO, CH=NOH, CH(OH)R31, -CH=NOR31, -CH=NOCOR31, -CH=NOCH₂CONR31R32, -CH=NOCH(CH₃)CONR31R32, -CH=NOC(CH₃)₂CONR31R32, -CH=N-NHCO-R33, -CH=N-NHCO-CH₂NHCOR31, -CH=N-O-CH₂NHCOR31, -CH=N-NHCS-R33, -CH=CR34R35 (trans or cis), COOH,



COOR31, CONR31R32, -CH=NR31, -CH=N-NR31R32, ,
(with X' = NR315, O, S, and R311, R312, R313, R314, R315 being independently from each other H or C₁-C₆ alkyl), -CH=N-NHSO₂ aryl, -CH=N-NHSO₂- heteroaryl,

R31, R32 mean independently from each other C₁-C₁₄ alkyl, C₁-C₁₄ alkanoyl, C₁-C₆ alkylhydroxy, C₁-C₆ alkylamino, C₁-C₆ alkylamino-C₁-C₆ alkyl, C₁-C₆ alkylamino-di-C₁-C₆ alkyl, cycloalkyl, C₁-C₄ alkylcycloalkyl, heterocycloalkyl, C₁-C₄ alkylheterocycloalkyl, aryl, aryloyl, C₁-C₄ alkylaryl, heteroaryl, heteroaryloyl, C₁-C₄ alkylheteroaryl, cycloalkanoyl, C₁-C₄ alkanoylcycloalkyl, heterocycloalkanoyl, C₁-C₄ alkanoylheterocycloalkyl, C₁-C₄ alkanoylaryl, C₁-C₄ alkanoylheteroaryl, mono- and di-sugar residues linked through a C atom which would carry an OH residue in the sugar, wherein the sugars are independently from each other selected from the group consisting of glucuronic acid and its stereo isomers at all optical atoms, aldopentoses, aldohexoses, including their desoxy compounds (such as e.g. glucose, desoxyglucose, ribose, desoxyribose),

R33 independently of R31, has the same meanings as R31, or CH₂-pyridinium salts, CH₂-tri-C₁-C₆ alkylammonium salts,

R34 independently of R21, has the same meanings as R31, or H, CN, COCH₃, COOH, COOR21, CONR31R32, NH₂, NHCOR31,

R35 independently of R31, has the same meanings as R31, or H, CN, COCH₃, COOH, COOR31, CONR31R32, NH₂, NHCOR31,

R34, R35 together mean C₄-C₈ cycloalkyl,

R5 means H, C₁-C₆ alkyl, cycloalkyl, C₁-C₄ alkylcycloalkyl, heterocycloalkyl, C₁-C₄ alkylheterocycloalkyl, aryl, C₁-C₄ alkylaryl, heteroaryl, C₁-C₄ alkylheteroaryl,

R4, R6, R7 independently from each other mean H, C₁-C₆ alkyl, CO-R41,

R41 independently of R21, has the same meanings as R21,

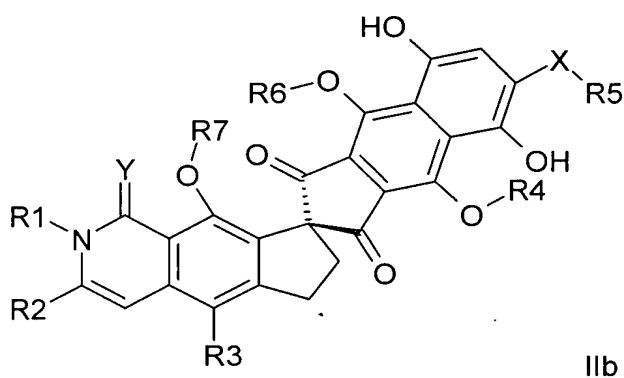
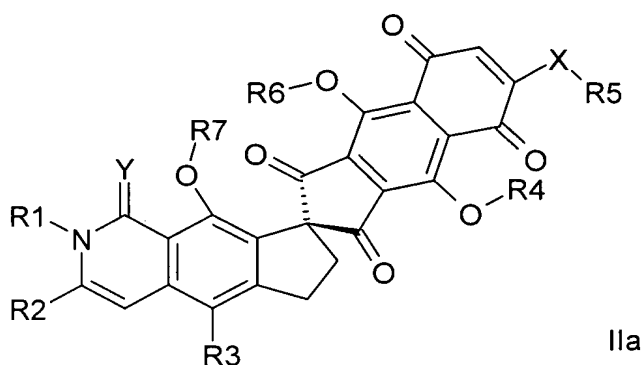
X means O, S, NH, N-R8, wherein R8 independently from R5 may adopt the same meaning as R5, or R5 and R8, together with the N, form a ring with 4, 5, 6, 7, or 8 members, which may optionally contain still another heteroatom selected from the group N, O, S,

or X-R5 may together be H,

Y means O, S, NR9, wherein R9 may be H or C₁-C₆ alkyl,

as well their stereoisomers, tautomers, and their physiologically tolerable salts or inclusion compounds.

2. The compounds according to claim 1, wherein Formula Ia or Ib adopt the stereochemistry of Formula IIa or IIb



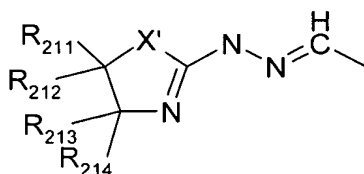
3. The compounds of the general Formula Ia, Ib, Iia or IIb according to claim 1 or 2, wherein the residues R, except R3, have the meanings indicated in the previous claims, and wherein R3 has a water solubility that is at least two times higher, preferably at least five times higher, more preferred at least ten times higher, particularly preferred at least fifty times higher, particularly hundred times higher, or even five hundred times higher compared to R3 being H, with all other residues being maintained.

4. The compounds of the general Formula Ia, Ib, Iia or IIb according to claim 1 or 2, wherein the residues R, except R2, have the meanings indicated in the previous claims, and wherein R2 has a water solubility that is at least two times higher, preferably at least five times higher, more preferred at least ten times higher, particularly preferred at least fifty times higher, particularly hundred times higher, or even five hundred times higher compared to R2 being $\text{CH}=\text{CH}-\text{CH}=\text{CH}-\text{CH}_3$, with all other residues being maintained.

5. The compounds according to one of the claims 1 to 5, wherein

R1 means H, C₁-C₅ alkyl, cycloalkyl, especially H,

R2 means C₁-C₅ alkyl, C₁-C₄ alkylaryl, C₂-C₅ alkenyl, heteroaryl, C₁-C₄ alkylheteroaryl, CHF₂, CF₃, polyol side chain, particularly CHOH-CHOH-CHOH-CHOH-CH₃, CHOH-CHOH-CH=CH-CH₃, CH=CH-CHOH-CHOH-CH₃, CH₂Y (Y = F, Cl, Br, I), CH₂NH₂, CH₂NR₂₁R₂₂, CH₂NHCOR₂₃, CH₂NHCSR₂₃, CH₂SH, CH₂S(O)_nR₂₁, with n = 0, 1, 2, CH₂SCOR₂₁, particularly CH₂OH, CH₂OR₂₁, CH₂OSO₂-R₂₁, particularly CHO, CH(OR₂₁)₂, CH(SR₂₁)₂, CN, CH=NOH, CH=NOR₂₁, CH=NOCOR₂₁, CH=N-NHCO-R₂₃, CH=CR₂₄, R₂₅ (trans or cis), particularly COOH (particularly their physiologically tolerable salts), COOR₂₁, CONR₂₁R₂₂, -CH=NR₂₁, -CH=N-NR₂₁R₂₂,



, (with X' = NR₂₁₅, O, S, and R₂₁₁, R₂₁₂, R₂₁₃, R₂₁₄, R₂₁₅

being independently from each other H or C₁-C₆ alkyl), -CH=N-NHSO₂-aryl, -CH=N-NHSO₂-heteroaryl, CH=N-NHCO-R₂₃,

R₂₁, R₂₂ independently from each other mean C₁-C₆ alkyl, cycloalkyl, aryl, C₁-C₄ alkylaryl, heteroaryl, C₁-C₄ alkylheteroaryl,

R₂₃ independently of R₂₁, has the same meanings as R₂₁, or CH₂-pyridinium salts, CH₂-tri-C₁-C₆ alkylammonium salts,

R₂₄ independently of R₂₁, has the same meanings as R₂₁, or H, CN, COCH₃, COOH, COOR₂₁, CONR₂₁R₂₂, NH₂, NHCOR₂₁,

R₂₅ independently of R₂₁, has the same meanings as R₂₁, or H, CN, COCH₃, COOH, COOR₂₁, CONR₂₁R₂₂, NH₂, NHCOR₂₁,

R₂₄, R₂₅ together mean C₄-C₈ cycloalkyl,

R₃ means C₂-C₁₄ alkyl, C₂-C₁₄ alkenyl, C₂-C₁₄ alkynyl, aryl, C₁-C₄ alkylaryl, heteroaryl, C₁-C₄ alkylheteroaryl, wherein the aryls or heteroaryls may be substituted with another aryl, C₁-C₄ alkylaryl, O-aryl, C₁-C₄ alkyl-O-aryl, heteroaryl, C₁-C₄ alkylheteroaryl, O-heteroaryl or C₁-C₄ alkyl-O-heteroaryl,

R5 means H, C₁-C₃ alkyl, cycloalkyl,

R4, R6, R7 independently from each other mean H, C₁-C₅ alkyl, CO-R41,

R41 independently of R21, has the same meanings as R21,

X means O, S, NH, N-R8,

Y means O, S, NH.

6. The compounds according to one of the claims 1 to 5 in the form of their inclusion compounds with cyclodextrin, particularly alpha cyclodextrin.
7. Drugs containing compounds according to one of the claims 1 to 6, as well as the usual carrier and adjuvants.
8. Drugs according to claim 7 in combination with further agents for tumor treatment.
9. The use of compounds according to one of the claims 1 to 6 for preparation of drugs for tumor treatment, particularly of those that can be treated by inhibition of the topoisomerases I and/or II.
10. The use of compounds according to one of the claims 1 to 6 for preparation of drugs for treatment of parasites.
11. The use of compounds according to one of the claims 1 to 6 for preparation of drugs for immunosuppression.
12. The use of compounds according to one of the claims 1 to 6 for preparation of drugs for treatment of neurodermitis.